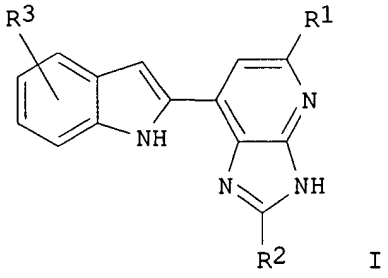
AN 2003:551516 CAPLUS
DN 139:117268
TI Preparation of deazapurines for use in pharmaceutical compositions for the treatment of inflammatory, autoimmune and proliferative diseases
IN Daun, Jane; Davis, Heather A.; Gusovsky, Fabian; Hishinuma, Ieharu; Jiang, Yimin; Kaneko, Toshihiko; Kikuchi, Kouichi; Kobayashi, Seiichi; Lescarbeau, Andre; Li, Xiang-Li; Muramoto, Kenzo; Ohi, Norihito; Pesant, Marc; Seletsky, Boris M.; Soejima, Motohiko; Yao, Ye; Yokohama, Hiromitsu; Zhao, Janet Y.; Zheng, Wanjun; Tremblay, Lynda
PA Eisai Co. Ltd., Japan
SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

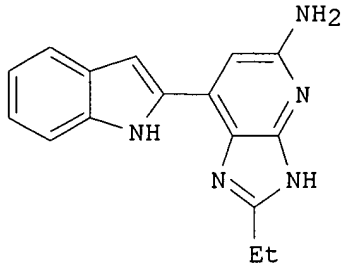
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003057696	A1	20030717	WO 2003-US366	20030107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-346598P P 20020107
OS MARPAT 139:117268
GI



I



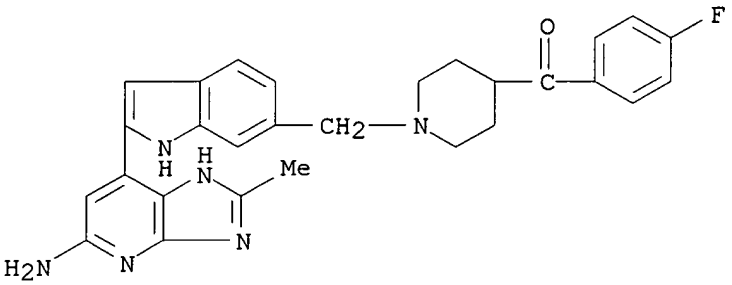
II

AB Indolyldeazapurines, such as I [R1 = H, NH2, alkylamino, acylamino, etc.; R2 = H, amino, alkoxy, alkyl, etc.; R3 = H, CN, halogen, heteroaryl, amino, carbamoyl, etc.], were prepd. for therapeutic use as inhibitors of cell adhesion, mol. expression and inflammatory cytokine signal transduction. These deazapurines are useful in the treatment of inflammatory, autoimmune, proliferative, central nervous system and cardiovascular diseases, such as rheumatoid arthritis, ulcerative colitis, multiple sclerosis, asthma, psoriasis, allograft rejection/graft vs. host disease, idiopathic thrombocytopenia, allergic rhinitis, atopic dermatitis, systemic lupus, glomerulonephritis, diabetes, ulcerative colitis/Crohn's disease, erythematosus, eczema, urticaria, myasthenia gravis, idiopathic thrombocytopenia purpura and cancer. Thus, deazapurine II was prepd. via a coupling reaction of the corresponding halodeazapurine

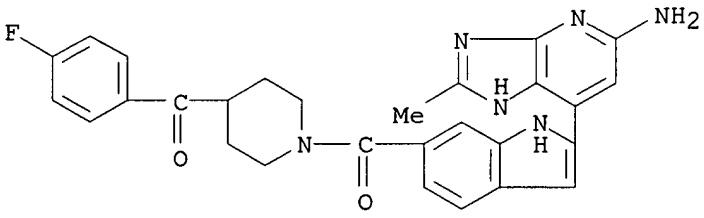
with 2-(tributylstannyl)-1H-indole-1-carboxylic acid 1,1-dimethylethyl ester. The prepd. deazapurines were assayed for cellular cytokine inhibition using human umbilical vein endothelial cells (HUVEC).

IT **562082-85-3P**, ER 806843 **562082-88-6P**, ER 806901
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolyldeazapurines for use in pharmaceutical compns. for the treatment of inflammatory, autoimmune and proliferative diseases)

RN 562082-85-3 CAPLUS
CN Methanone, [1-[[2-(5-amino-2-methyl-1H-imidazo[4,5-b]pyridin-7-yl)-1H-indol-6-yl]methyl]-4-piperidinyl](4-fluorophenyl)- (9CI) (CA INDEX NAME)

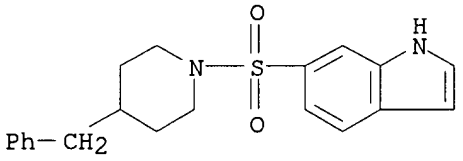


RN 562082-88-6 CAPLUS
CN Piperidine, 1-[[2-(5-amino-2-methyl-1H-imidazo[4,5-b]pyridin-7-yl)-1H-indol-6-yl]carbonyl]-4-(4-fluorobenzoyl)- (9CI) (CA INDEX NAME)

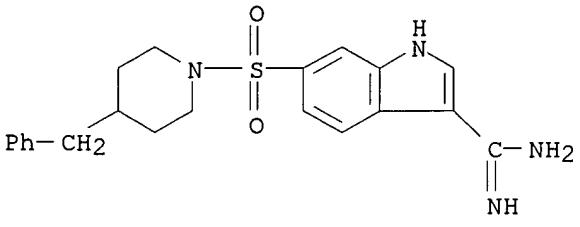


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 1999:295926 CAPLUS
DN 131:67650
TI Design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors
AU Dominguez, Celia; Duffy, Daniel E.; Han, Qi; Alexander, Richard S.; Galemmo, Robert A., Jr.; Park, Jeongsook M.; Wong, Pancras C.; Amparo, Eugene C.; Knabb, Robert M.; Luettgen, Joseph; Wexler, Ruth R.
CS DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0500, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(7), 925-930
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Thrombin, a serine protease, plays a central role in the initiation of thrombotic events. We report the design, synthesis, and antithrombotic efficacy of XU817 (7), a nonpeptide 5-(amidino)indole thrombin inhibitor. Utilizing the co-crystal structure of XU817 bound in the active site of thrombin we were able to synthesize analogs with enhanced thrombin affinity.
IT **228552-27-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors)
RN 228552-27-0 CAPLUS
CN Piperidine, 1-(1H-indol-6-ylsulfonyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

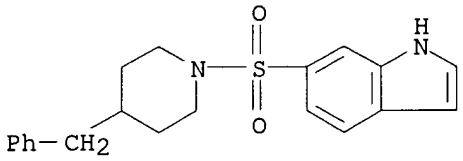


IT **228552-28-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors)
RN 228552-28-1 CAPLUS
CN 1H-Indole-3-carboximidamide, 6-[[4-(phenylmethyl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

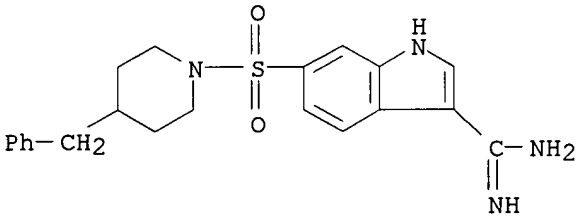


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 1999:295926 CAPLUS
DN 131:67650
TI Design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors
AU Dominguez, Celia; Duffy, Daniel E.; Han, Qi; Alexander, Richard S.; Galemmo, Robert A., Jr.; Park, Jeongsook M.; Wong, Pancras C.; Amparo, Eugene C.; Knabb, Robert M.; Luettgen, Joseph; Wexler, Ruth R.
CS DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0500, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(7), 925-930
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Thrombin, a serine protease, plays a central role in the initiation of thrombotic events. We report the design, synthesis, and antithrombotic efficacy of XU817 (7), a nonpeptide 5-(amidino)indole thrombin inhibitor. Utilizing the co-crystal structure of XU817 bound in the active site of thrombin we were able to synthesize analogs with enhanced thrombin affinity.
IT **228552-27-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors)
RN 228552-27-0 CAPLUS
CN Piperidine, 1-(1H-indol-6-ylsulfonyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



AN 1999:295926 CAPLUS
DN 131:67650
TI Design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors
AU Dominguez, Celia; Duffy, Daniel E.; Han, Qi; Alexander, Richard S.; Galemmo, Robert A., Jr.; Park, Jeongsook M.; Wong, Pancras C.; Amparo, Eugene C.; Knabb, Robert M.; Luettgen, Joseph; Wexler, Ruth R.
CS DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0500, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(7), 925-930
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Thrombin, a serine protease, plays a central role in the initiation of thrombotic events. We report the design, synthesis, and antithrombotic efficacy of XU817 (7), a nonpeptide 5-(amidino)indole thrombin inhibitor. Utilizing the co-crystal structure of XU817 bound in the active site of thrombin we were able to synthesize analogs with enhanced thrombin affinity.
IT **228552-28-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and synthesis of potent and selective 5,6-fused heterocyclic thrombin inhibitors)
RN 228552-28-1 CAPLUS
CN 1H-Indole-3-carboximidamide, 6-[[4-(phenylmethyl)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

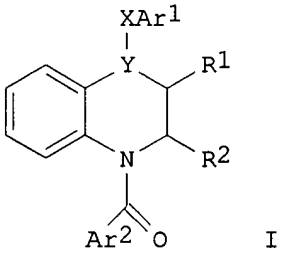


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2001:762850 CAPLUS
DN **135:313624**
TI Soluble .beta.-amyloid precursor protein secretion promoters and preparation thereof
IN Kakihana, Mitsuru; Kato, Kaneyoshi; Mori, Masaaki; Yamashita, Toshiro
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001076629	A1	20011018	WO 2001-JP2961	20010405
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1283055	A1	20030212	EP 2001-919795	20010405
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2001348332	A2	20011218	JP 2001-108395	20010406
PRAI	JP 2000-111912	A	20000407		
	WO 2001-JP2961	W	20010405		

OS MARPAT 135:313624
GI



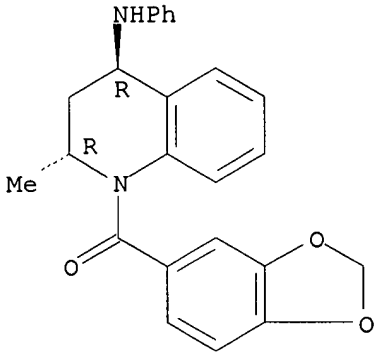
I

AB Disclosed are compds. represented by the following general formula I, salts thereof or prodrugs thereof, use of the same, and a process for producing the same wherein R1, R2 = H, lower alkyl, etc.; the ring A represents an optionally substituted benzene ring; X = O, etc.; and Y represents CH or N. Because of having a potent effect of promoting the secretion of sol. .beta.-amyloid precursor proteins (sAPP), these compds. and the like inhibit functional disorders and apoptosis of cells (in particular, nerve cells) mediated by the thus secreted sol. .beta.-amyloid precursor proteins having a neurotrophic factor-like effect. A compd. cis-(4-anilino-2-methyl-3,4-dihydro-1(2H)-quinolinyl)(2-furyl)methane was prepd., and its promotion effect on sAPP secretion and inhibitory effect on apoptosis in PC12h cells were examd.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
RN **367510-05-2** REGISTRY
CN 4-Quinolinamine, 1-(1,3-benzodioxol-5-ylcarbonyl)-1,2,3,4-tetrahydro-2-methyl-N-phenyl-, (2R,4R)-rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H22 N2 O3
SR CA
LC STN Files: CA, CAPLUS

Relative stereochemistry.

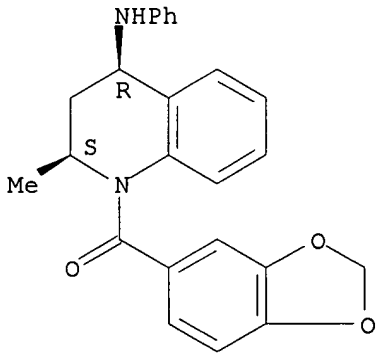


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L7 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
RN **367508-34-7** REGISTRY
CN 4-Quinolinamine, 1-(1,3-benzodioxol-5-ylcarbonyl)-1,2,3,4-tetrahydro-2-methyl-N-phenyl-, (2R,4S)-rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H22 N2 O3
SR CA
LC STN Files: CA, CAPLUS

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

=> d 2002:1367700
ANSWER 1 CHEMCATS COPYRIGHT 2003 ACS on STN
Accession No. (AN): 2002:1367700 CHEMCATS
Catalog Name (CO): ChemBridge Product List
Publication Date (PD): 17 Jan 2002
Order Number (ON): 5270081
Chemical Name (CN): 9H-Carbazole, 9-ethyl-3-[[4-(phenylmethyl)-1-piperidinyl]methyl]-
CAS Registry No. (RN): 414893-18-8
Supplementary Term (ST): CHEMICAL LIBRARY
Structure :